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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS 3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS 6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS 8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS 9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS 10	NOV 23	Addition of SCAN format to selected STN databases
NEWS 11	NOV 23	Annual Reload of IFI Databases
NEWS 12	DEC 01	FRFULL Content and Search Enhancements
NEWS 13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS 14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS 15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS 16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS 17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAplus
NEWS 18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS 19	JAN 25	Annual Reload of MEDLINE database
NEWS 20	FEB 16	STN Express Maintenance Release, Version 8.4.2, Is Now Available for Download
NEWS 21	FEB 16	Derwent World Patents Index (DWPI) Revises Indexing of Author Abstracts
NEWS 22	FEB 16	New FASTA Display Formats Added to USGENE and PCTGEN
NEWS 23	FEB 16	INPADOCDB and INPAFAMDB Enriched with New Content and Features
NEWS 24	FEB 16	INSPEC Adding Its Own IPC codes and Author's E-mail Addresses

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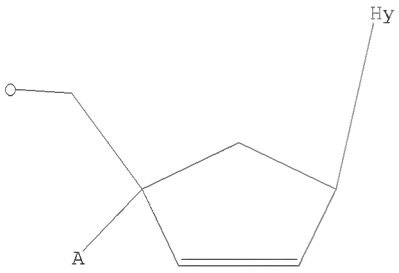
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



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=> s l1
SAMPLE SEARCH INITIATED 12:50:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 386760 TO ITERATE

0.5% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 7699161 TO 7771239
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 12:50:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7739821 TO ITERATE

18.5% PROCESSED 1428334 ITERATIONS 27 ANSWERS

23.8% PROCESSED 1844919 ITERATIONS 47 ANSWERS

25.6% PROCESSED 1979087 ITERATIONS 47 ANSWERS

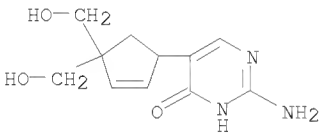
25.8% PROCESSED 2000000 ITERATIONS 47 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.59

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 7739821 TO 7739821
PROJECTED ANSWERS: 141 TO 221

L3 47 SEA SSS FUL L1

=> d scan

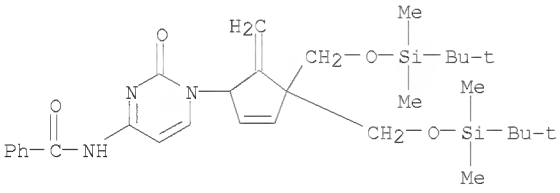
L3 47 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 4(3H)-Pyrimidinone, 2-amino-5-[4,4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-
MF C11 H15 N3 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L3 47 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN Benzamide, N-[1-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-methylene-2-cyclopenten-1-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]-
MF C31 H47 N3 O4 Si2

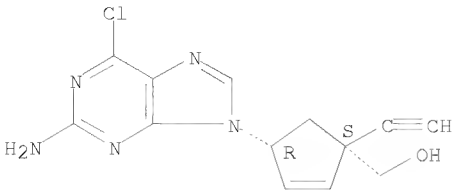


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 47 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethynyl-, (1R,4S)-rel-
MF C13 H12 Cl N5 O

Relative stereochemistry.

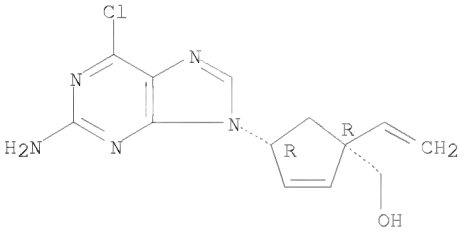
McIntosh



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 47 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethenyl-, (1R,4R)-rel-
MF C13 H14 Cl N5 O

Relative stereochemistry.



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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

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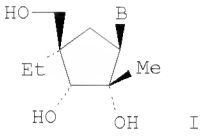
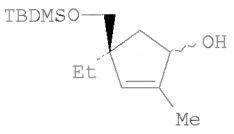
=> s l3
L4 8 L3

=> d bib abs hitstr 1-8 l4

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:1209881 CAPLUS
DN 152:144950
TI Synthesis and anti-HCV evaluation of 4'(α)-ethyl and 2'(β)-methyl-carbodine analogs
AU Li, Hua; Yoo, Jin Cheol; Hong, Joon Hee
CS BK21 { Project Team, College of Pharmacy, Chosun University, Kwangju, S. Korea
SO Nucleosides, Nucleotides & Nucleic Acids (2009), 28(9), 809-820
CODEN: NNNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
GI



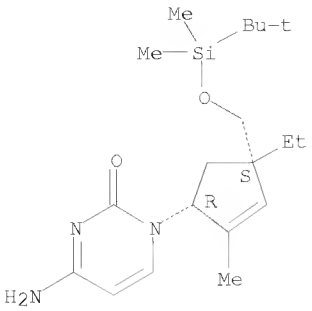
I



II

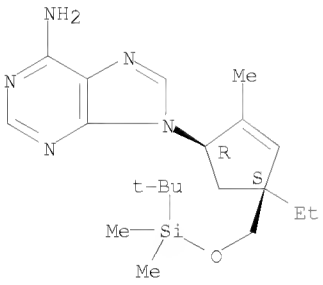
AB Novel 4'(α)-ethyl-2'(β)-Me carbocyclic nucleoside analogs, e.g. I (B = cytosine, adenine), have been prepared and evaluated for inhibition of hepatitis C virus (HCV) RNA replication in cell culture. The construction of cyclopentene intermediate II was successfully made via sequential Johnson-Claisen ortho-ester rearrangement and ring-closing metathesis (RCM) starting from amide TBDMSO-CH2-C(O)-N(Me)OMe. Selective dihydroxylation and desilylation gave the target carbodine analogs. The synthesized nucleoside analogs I were assayed for their ability to inhibit HCV RNA replication in a sub-genomic replicon Huh7 cell line (LucNeo#2). However, the synthesized nucleosides neither showed any significant antiviral activity nor toxicity up to 50 μM.
IT 1204184-85-9P 1204184-86-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anti-HCV evaluation of 4'(α)-Et and 2'(β)-methyl-carbodine analogs)
RN 1204184-85-9 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4S)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethyl-2-methyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



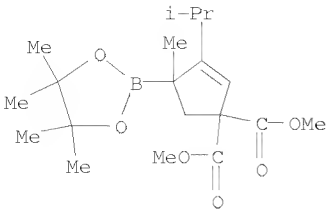
RN 1204184-86-0 CAPLUS
CN 9H-Purin-6-amine, 9-[(1R,4S)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethyl-2-methyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

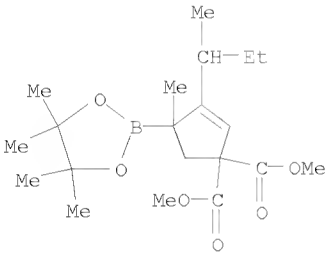


RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:1171773 CAPLUS
DN 151:470267
TI Pd-Catalyzed Borylative Cyclization of Allenynes and Enallenes
AU Pardo-Rodriguez, Virtudes; Marco-Martinez, Juan; Bunuel, Elena; Cardenas, Diego J.
CS Departamento de Quimica Organica, Universidad Autonoma de Madrid, Madrid, 28049, Spain
SO Organic Letters (2009), 11(20), 4548-4551
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 151:470267
AB Pd-catalyzed cyclization of 1,5- and 1,6-allenynes and 1,5-enallenes with bis(pinacolato)diboron affords synthetically useful allylboronates and alkylboronates under smooth conditions in a formal hydroborylative carbocyclization reaction. One C-C and one C-B bond are formed in a single operation. The reaction outcome implies that different mechanisms operate for the reactions of allenynes and enallenes, resp., the actual pathway depending on the relative reactivity of the alkyne or the alkene vs. the allene moiety. The cyclized boronates obtained can be functionalized by oxidation or allylation reaction with aldehydes.
IT 1192068-05-5P 1192068-38-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of allyl- and alkylboronates by Pd-catalyzed borylative cyclization of allenynes and enallenes)
RN 1192068-05-5 CAPLUS
CN 2-Cyclopentene-1,1-dicarboxylic acid, 4-methyl-3-(1-methylethyl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-, 1,1-dimethyl ester (CA INDEX NAME)

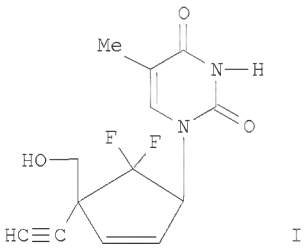


RN 1192068-38-4 CAPLUS
CN 2-Cyclopentene-1,1-dicarboxylic acid, 4-methyl-3-(1-methylpropyl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-, 1,1-dimethyl ester (CA INDEX NAME)



RE.CNT 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:964432 CAPLUS
DN 151:403520
TI Synthesis of (±)-4'-ethynyl-5',5'-difluoro-2',3'-dehydro-3'-deoxy-carbocyclic thymidine: a difluoromethylidene analog of promising anti-HIV agent Ed4T
AU Kumamoto, Hiroki; Haraguchi, Kazuhiro; Ida, Mayumi; Nakamura, Kazuo T.; Kitagawa, Yasuyuki; Hamasaki, Takayuki; Baba, Masanori; Matsubayashi, Satoko Shimbara; Tanaka, Hiromichi
CS School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai, Shinagawa-ku, Tokyo, 142-8555, Japan
SO Tetrahedron (2009), 65(36), 7630-7636
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 151:403520
GI



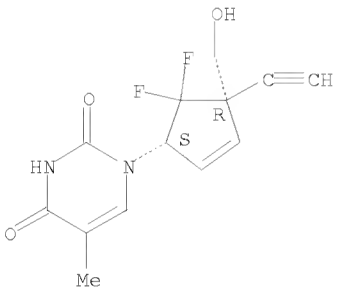
I

AB Synthesis of ethynyl-difluoro-dehydro-deoxy-carbocyclic-thymidine I was carried out. The difluoromethylylidene group of 8 was constructed by the electrophilic fluorination to the cyclopentenone by using Selectfluor. Introduction of thymine base was investigated based on the Mitsunobu reaction by employing cyclopentenyl allyl alcs. variously substituted at the 4-position. It was found the 4-methoxycarbonyl derivative 14 gave the

highest selectivity both in terms of regio- and stereochem.

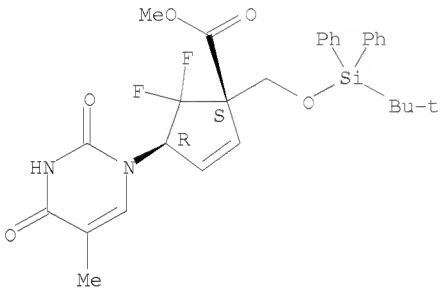
IT 1188386-13-1P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure; synthesis of (±)-4'-ethynyl-5',5'-difluoro-2',3'-dehydro-3'-deoxy-carbocyclic thymidine analog of promising anti-HIV agent Ed4T via Mitsunobu nucleophilic substitution and electrophilic fluorination reactions)
RN 1188386-13-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-5,5-difluoro-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



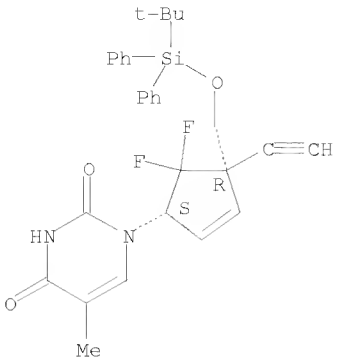
IT 1188386-26-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of (±)-4'-ethynyl-5',5'-difluoro-2',3'-dehydro-3'-deoxy-carbocyclic thymidine analog of promising anti-HIV agent Ed4T via Mitsunobu nucleophilic substitution and electrophilic fluorination reactions)
RN 1188386-26-6 CAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-, methyl ester, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

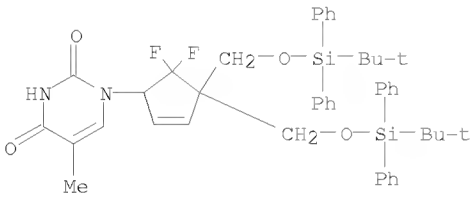


IT 1188386-20-0P 1188386-24-4P 1188386-66-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of (±)-4'-ethynyl-5',5'-difluoro-2',3'-dehydro-3'-deoxy-carbocyclic thymidine analog of promising anti-HIV agent Ed4T via Mitsunobu nucleophilic substitution and electrophilic fluorination reactions)
RN 1188386-20-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-4-ethynyl-5,5-difluoro-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

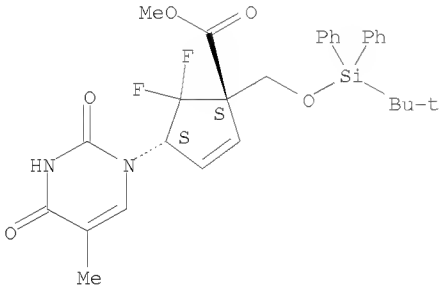


RN 1188386-24-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)



RN 1188386-66-4 CAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-, methyl ester, (1R,4R)-rel- (CA INDEX NAME)

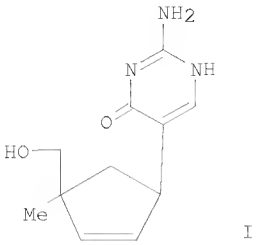
Relative stereochemistry.



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:862850 CAPLUS
DN 151:529010
TI Synthesis and anti-HIV activity of 4'-modified cyclopentenyl pyrimidine C-nucleosides
AU Liu, Lian Jin; Hong, Joon Hee
CS BK21-Project Team, College of Pharmacy, Chosun University, Kwangju, S. Korea
SO Nucleosides, Nucleotides & Nucleic Acids (2009), 28(4), 303-314
CODEN: NNNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English

GI



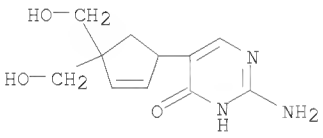
I

AB Novel syntheses of 4-modified cyclopentenyl pyrimidine C-nucleosides, e.g. I, were performed via C-C bond formation using SN2 alkylation via the key intermediate mesylates, which were prepared from acyclic ketone derivs. When antiviral evaluation of synthesized compound was performed against various viruses such as HIV-1, HSV-1 and HSV-2, isocytidine analog I showed moderate anti-HIV activity in CEM cell line (EC50 = 13.1 μ mol) without any cytotoxicity up to 100 μmol.

IT 1193397-12-4P 1193397-16-8P 1193397-22-6P
1193397-25-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HIV activity of 4'-modified cyclopentenyl pyrimidine C-nucleosides via SN2 alkylation reaction)

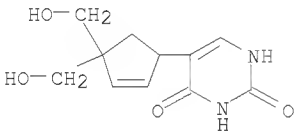
RN 1193397-12-4 CAPLUS

CN 4(3H)-Pyrimidinone, 2-amino-5-[4,4-bis(hydroxymethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)



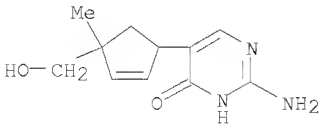
RN 1193397-16-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-[4,4-bis(hydroxymethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)



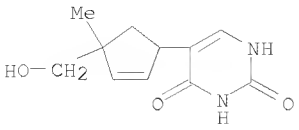
RN 1193397-22-6 CAPLUS

CN 4(3H)-Pyrimidinone, 2-amino-5-[4-(hydroxymethyl)-4-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)



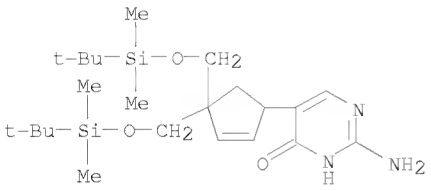
RN 1193397-25-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-[4-(hydroxymethyl)-4-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)

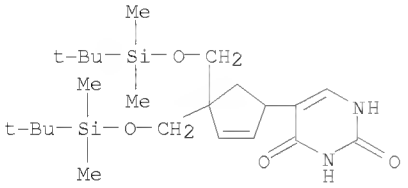


IT 1193397-11-3P 1193397-15-7P 1193397-21-5P
1193397-24-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anti-HIV activity of 4'-modified cyclopentenyl pyrimidine C-nucleosides via SN2 alkylation reaction)

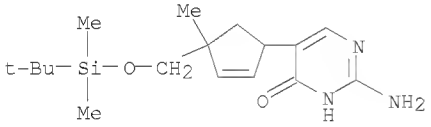
RN 1193397-11-3 CAPLUS
CN 4(3H)-Pyrimidinone, 2-amino-5-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-cyclopenten-1-yl]- (CA INDEX NAME)



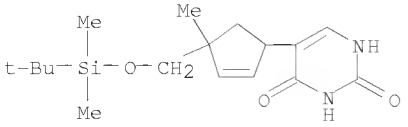
RN 1193397-15-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-cyclopenten-1-yl]- (CA INDEX NAME)



RN 1193397-21-5 CAPLUS
CN 4(3H)-Pyrimidinone, 2-amino-5-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)

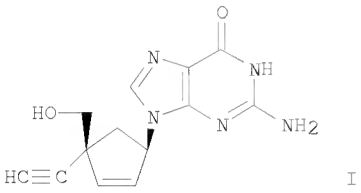


RN 1193397-24-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1388797 CAPLUS
DN 151:56632
TI Synthesis and anti-HIV-1 activity of carbocyclic versions of stavudine analogues using a ring-closing metathesis
AU Liu, Lian Jin; Ko, Ok Hyun; Hong, Joon Hee
CS BK21-Project Team, College of Pharmacy, Chosun University, Gwangju, 501-759, S. Korea
SO Bulletin of the Korean Chemical Society (2008), 29(9), 1723-1728
CODEN: BKCSDE; ISSN: 0253-2964
PB Korean Chemical Society
DT Journal
LA English
OS CASREACT 151:56632
GI



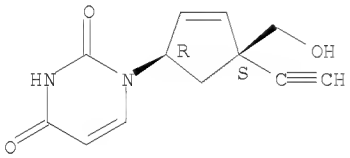
I

AB An efficient synthetic route for carbocyclic versions of stavudine analogs and their evaluation on antiviral activity are described. The construction of an ethynylated quaternary carbon at the 4'-position of carbocyclic nucleosides was accomplished using Claisen rearrangement of (E,Z)-3-(tert-butyldimethylsilyloxymethyl)pent-2-en-4-yn-1-ol and ring-closing metathesis (RCM) of a dienyne derivative as key transformations. An antiviral evaluation of the title compds. against HIV-1, HSV-1, HSV-2, and HCMV showed that only the guanine analog I is moderately active against HIV-1 in the MT-4 cell line (EC50 = 11.91 μmol).

IT 1160705-46-3P 1160705-49-6P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HIV-1 activity of carbocyclic versions of stavudine analogs using a ring-closing metathesis)

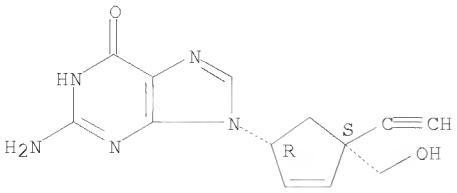
RN 1160705-46-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1160705-49-6 CAPLUS
CN 6H-Purin-6-one, 2-amino-9-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-1,9-dihydro-, rel- (CA INDEX NAME)

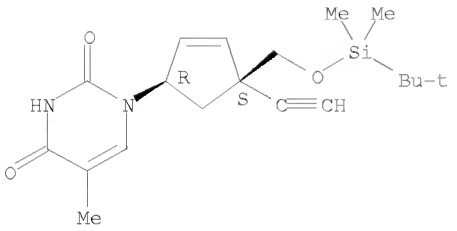
Relative stereochemistry.



IT 1160705-43-0P 1160705-44-1P 1160705-47-4P
1160705-48-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anti-HIV-1 activity of carbocyclic versions of stavudine analogs using a ring-closing metathesis)

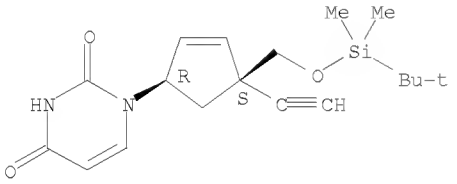
RN 1160705-43-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethynyl-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



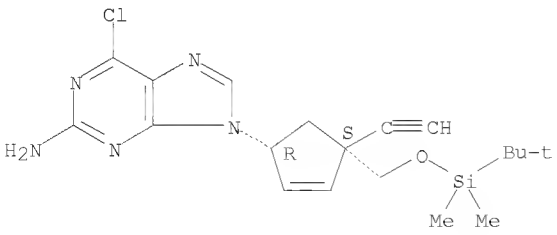
RN 1160705-44-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethynyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1160705-47-4 CAPLUS
CN 9H-Purin-2-amine, 6-chloro-9-[(1R,4S)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethynyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

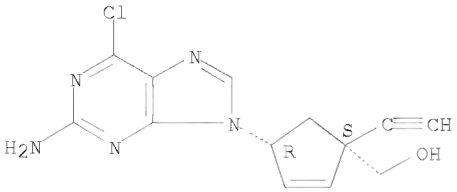
Relative stereochemistry.



RN 1160705-48-5 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethynyl-,

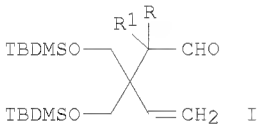
(1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

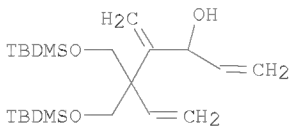


OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

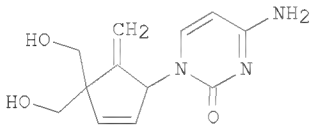
L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1352438 CAPLUS
DN 151:57074
TI Novel Synthesis and Anti-HIV Activity of 4'-Branched Exomethylene Carbocyclic Nucleosides Using a Ring-Closing Metathesis of Triene
AU Li, Hua; Yoo, Jin Cheol; Hong, Joon Hee
CS BK-21 Project Team, College of Pharmacy, Chosun University, Kwangju, S. Korea
SO Nucleosides, Nucleotides & Nucleic Acids (2008), 27(12), 1238-1249
CODEN: NNNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 151:57074
GI



I

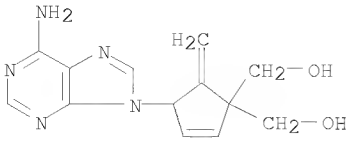


II

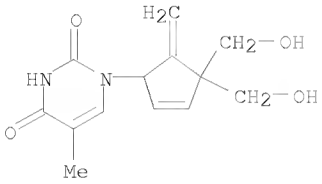


III

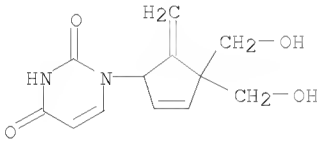
AB The exomethylene of I (RR1 = CH2) was successfully constructed from the aldehyde I (R = R1 = H) using Eschenmoser's reagents. A triene compound II was cyclized successfully using Grubbs' II catalyst to give an exomethylene carbocycle nucleus for the target compound A Mitsunobu reaction was successfully used to condense the natural bases (adenine, thymine, uracil, and cytosine). The synthesized cytosine analog III showed moderate anti-HIV activity (EC50 = 10.67 μM).
IT 1160714-25-9P 1160714-34-0P 1160714-35-1P
1160714-37-3P 1160714-38-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HIV activity of 4'-branched exomethylene carbocyclic nucleosides using sigmatropic rearrangement, Eschenmoser methylenation, and ring-closure metathesis of triene)
RN 1160714-25-9 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-amino-9H-purin-9-yl)-5-methylene- (CA INDEX NAME)



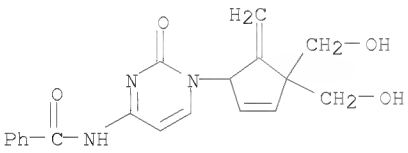
RN 1160714-34-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)



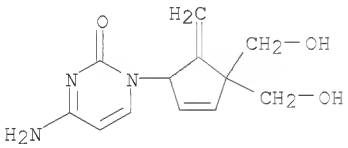
RN 1160714-35-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]- (CA INDEX NAME)



RN 1160714-37-3 CAPLUS
CN Benzamide, N-[1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (CA INDEX NAME)



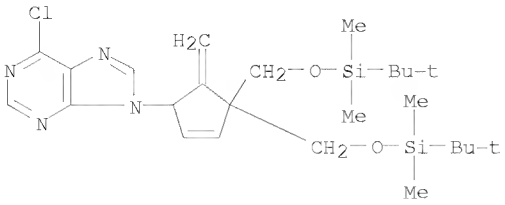
RN 1160714-38-4 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]- (CA INDEX NAME)



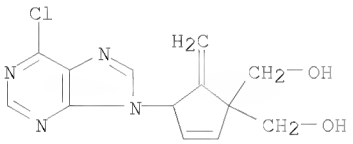
IT 1160714-22-6P 1160714-24-8P 1160714-27-1P
1160714-30-6P 1160714-32-8P 1160714-33-9P
1160714-36-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anti-HIV activity of 4'-branched exomethylene carbocyclic nucleosides using sigmatropic rearrangement, Eschenmoser methylenation, and ring-closure metathesis of triene)

RN 1160714-22-6 CAPLUS
CN 9H-Purine, 9-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-

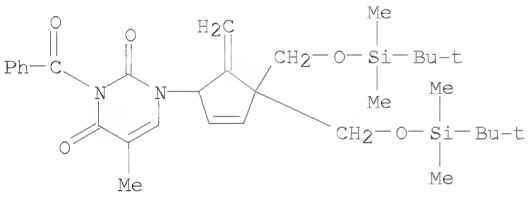
methylene-2-cyclopenten-1-yl]-6-chloro- (CA INDEX NAME)



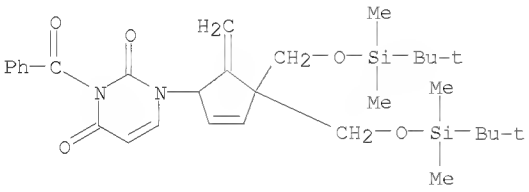
RN 1160714-24-8 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-chloro-9H-purin-9-yl)-5-methylene- (CA INDEX NAME)



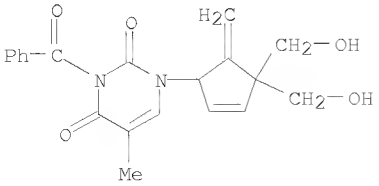
RN 1160714-27-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-methylene-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)



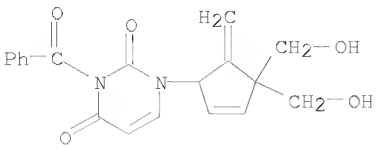
RN 1160714-30-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-methylene-2-cyclopenten-1-yl]- (CA INDEX NAME)



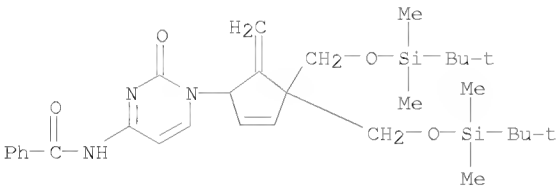
RN 1160714-32-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)



RN 1160714-33-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]- (CA INDEX NAME)



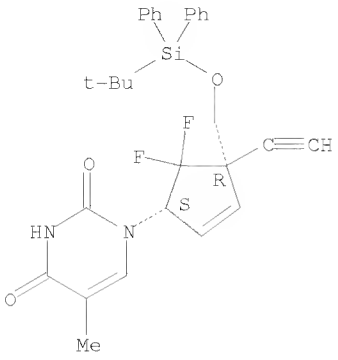
RN 1160714-36-2 CAPLUS
CN Benzamide, N-[1-[4,4-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-5-methylene-2-cyclopenten-1-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

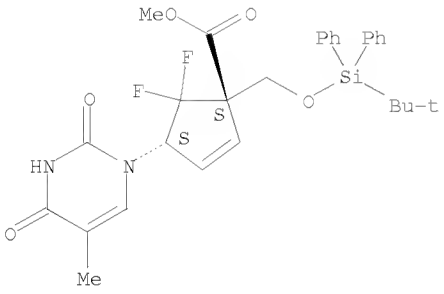
L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1153976 CAPLUS
DN 150:252109
TI Synthesis and antiviral evaluation of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analogue
AU Kumamoto, Hiroki; Haraguchi, Kazuhiro; Ida, Mayumi; Tanaka, Hiromichi; Hamasaki, Takayuki; Baba, Masanori
CS School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai, Shinagawa-ku, Tokyo, 142-8555, Japan
SO Nucleic Acids Symposium Series (2008), 52(1), 609-610
CODEN: NASSCJ; ISSN: 1746-8272
URL: http://nass.oxfordjournals.org/content/vol52/issue1/index.dtl
PB Oxford University Press
DT Journal; (online computer file)
LA English
AB Synthesis of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog 8, in which the furanose ring oxygen of usual nucleosides is replaced with a geminal-difluoromethylidene group, was carried out. Electrophilic fluorination with Selectfluor was applied to construct a gem-di-fluorocyclopentenone system to give 12. Regioselective introduction of thymine base was performed under the Mitsunobu conditions by employing the 4-methoxy-carbonyl derivative 13. Antiviral evaluation of 8 was also examined
IT 1119274-67-7P 1119274-73-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antiviral effect of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog)
RN 1119274-67-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1S,4R)-4-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-4-ethynyl-5,5-difluoro-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



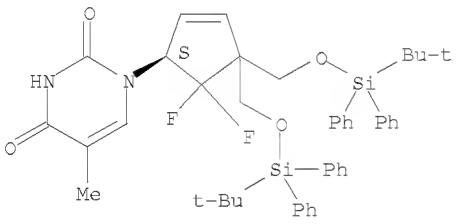
RN 1119274-73-5 CAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-, methyl ester, (1S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



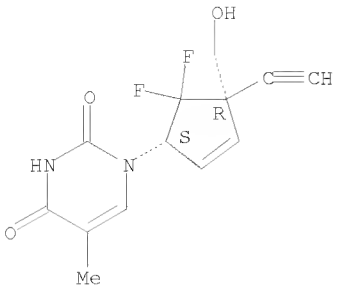
IT 1119274-72-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and antiviral effect of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog)
RN 1119274-72-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1S)-4,4-bis[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5,5-difluoro-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



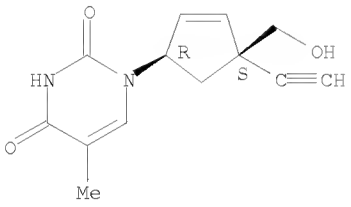
IT 1119274-60-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antiviral effect of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog)
RN 1119274-60-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1S,4R)-4-ethynyl-5,5-difluoro-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



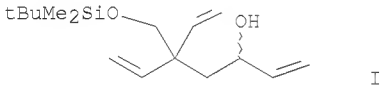
IT 1119274-59-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthesis and antiviral effect of
(±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog)
RN 1119274-59-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:748000 CAPLUS
DN 150:214607
TI An efficient synthesis of 4'-vinylated carboxylic nucleoside analogues via two directional ring-closing metathesis
AU Li, Hua; Hong, Joon Hee
CS BK21-Project Team, College of Pharmacy, Chosun University, Gwangju, 501-759, S. Korea
SO Bulletin of the Korean Chemical Society (2008), 29(5), 993-997
CODEN: BKCSDE; ISSN: 0253-2964
PB Korean Chemical Society
DT Journal
LA English
OS CASREACT 150:214607
GI



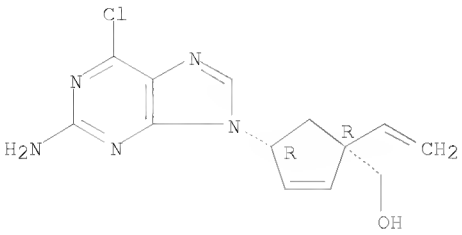
I

AB Two-directional ring-closing metathesis (RCM) was applied successfully to the synthesis of 4'-vinylated carbocyclic nucleoside analogs from the trivinyl intermediate I, which was readily made using a sequential Claisen rearrangement starting from Weinreb amide Me3CMe2SiOCH2CONMeOMe. An antiviral evaluation of the synthesized compds. against various viruses such as HIV, HSV-1, HSV-2, and HCMV revealed that the coresponding guanine analog has moderate anti-HIV activity in the MT-4 cell line (EC50 = 10.2 μM).
IT 1112877-76-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antiviral vinylated carboxylic nucleoside analogs via two-directional ring-closing metathesis)

RN 1112877-76-5 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethenyl-, (1R,4R)-rel- (CA INDEX NAME)

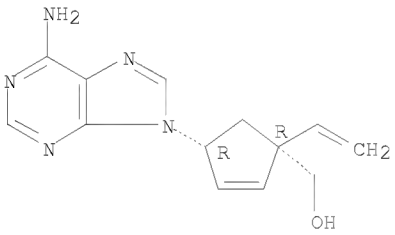
Relative stereochemistry.



IT 1112877-71-0P 1112877-74-3P 1112877-78-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of antiviral vinylated carboxylic nucleoside analogs via two-directional ring-closing metathesis)

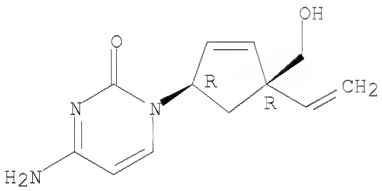
RN 1112877-71-0 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(6-amino-9H-purin-9-yl)-1-ethenyl-, (1R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



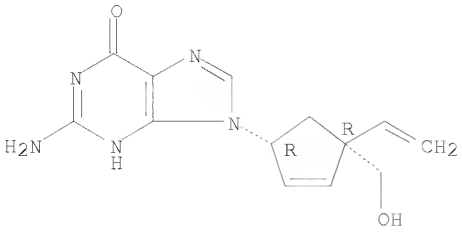
RN 1112877-74-3 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4R)-4-ethenyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1112877-78-7 CAPLUS
CN 6H-Purin-6-one, 2-amino-9-[(1R,4R)-4-ethenyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-1,9-dihydro-, rel- (CA INDEX NAME)

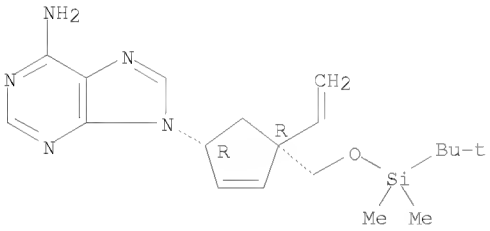
Relative stereochemistry.



IT 1112877-63-0P 1112877-65-2P 1112877-68-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antiviral vinylated carboxylic nucleoside analogs via two-directional ring-closing metathesis)

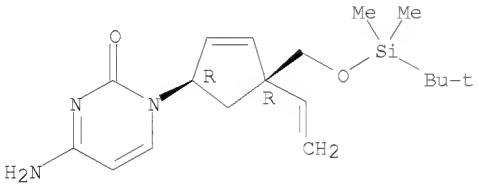
RN 1112877-63-0 CAPLUS
CN 9H-Purin-6-amine, 9-[(1R,4R)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethenyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



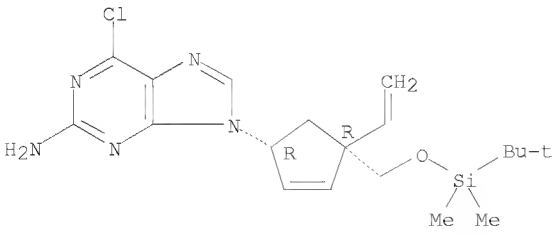
RN 1112877-65-2 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4R)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethenyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1112877-68-5 CAPLUS
CN 9H-Purin-2-amine, 6-chloro-9-[(1R,4R)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4-ethenyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

10/583,573

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT